STN - STRUCTURE SEARCH 9.9.04

10/791,198

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L7 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:855911 CAPLUS
DOCUMENT NUMBER: 139:364830
TITLE: Process for the preparation of piperidine derivatives
INVENTOR(S): Henegar, Kevin E.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003089413 | A1 | 20031030 | WO 2003-US11551 | 20030416 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004048832 | A1 | 20040311 | US 2003-414852 | 20030416 |
| US 6723729 | B2 | 20040420 | | |

PRIORITY APPLN. INFO.: US 2002-373727P P 20020417
OTHER SOURCE(S): MARPAT 139:364830
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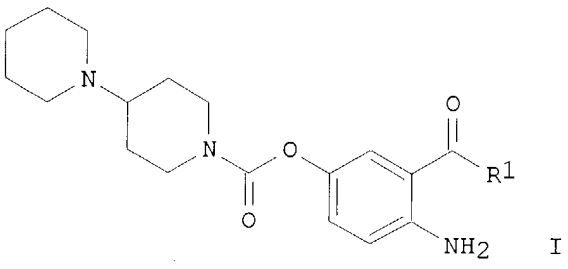


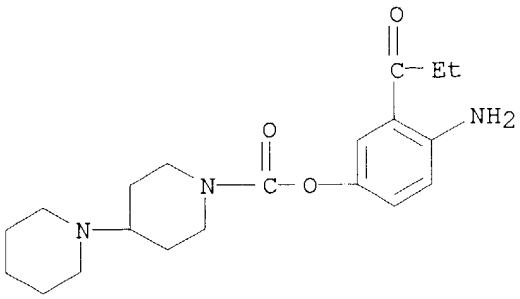
I

AB Compds. having the formula (I; R1 = hydrogen, alkyl, aralkyl, hydroxymethyl, carboxymethyl, acyloxymethyl, trialkylsilyl, CH2NR3R4; R3, R4 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl; R3 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and R4 = COR5 where R5 = hydrogen, alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl; NR3R4 = saturated 3- to 7-member heterocyclic group), useful as intermediates in a process to prepare camptothecin derivs. including the anti-cancer drug irinotecan, are prepared

IT **620160-86-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of piperidine derivs.)

RN 620160-86-3 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-amino-3-(1-oxopropyl)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491188 CAPLUS
DOCUMENT NUMBER: 139:69057
TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
INVENTOR(S): Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

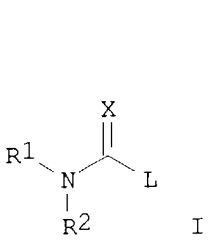
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003051842 | A2 | 20030626 | WO 2002-DK853 | 20021213 |
| WO 2003051842 | A3 | 20040603 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003166690 | A1 | 20030904 | US 2002-319212 | 20021213 |
| US 2003166644 | A1 | 20030904 | US 2002-319885 | 20021213 |

PRIORITY APPLN. INFO.:

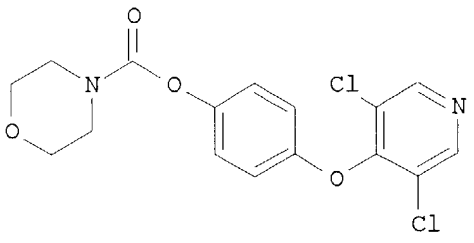
| | | |
|---|---|---|
| DK 2001-1879 | A | 20011214 |
| DK 2002-645 | A | 20020430 |
| DK 2002-1000 | A | 20020627 |
| DK 2002-1562 | A | 20021011 |
| US 2002-346909P | P | 20020103 |
| US 2002-384243P | P | 20020530 |
| US 2002-393068P | P | 20020628 |
| US 2002-418481P | P | 20021015 |

OTHER SOURCE(S): MARPAT 139:69057

GI



I



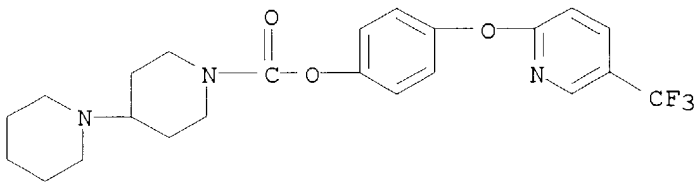
II

AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548766-17-2P,** [1,4']Bipiperidinyl-1'-carboxylic acid 4-(5-trifluoromethylpyridin-2-yloxy)phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 548766-17-2 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

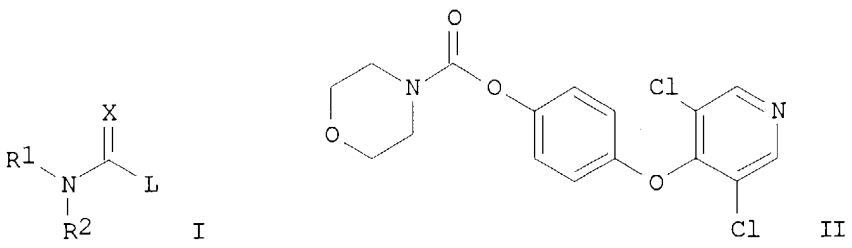
| | |
|---|---|
| ACCESSION NUMBER: | 2003:491187 CAPLUS |
| DOCUMENT NUMBER: | 139:69056 |
| TITLE: | Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders |
| INVENTOR(S): | Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per |
| PATENT ASSIGNEE(S): | Novo Nordisk A/S, Den. |
| SOURCE: | PCT Int. Appl., 519 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 2 |

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2003051841 | A2 | 20030626 | WO 2002-DK852 | | 20021213 |
| WO 2003051841 | A3 | 20040624 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| US 2003166690 | A1 | 20030904 | US 2002-319212 | | 20021213 |
| US 2003166644 | A1 | 20030904 | US 2002-319885 | | 20021213 |
| PRIORITY APPLN. INFO.: | | | DK 2001-1879 | A | 20011214 |
| | | | DK 2002-645 | A | 20020430 |
| | | | DK 2002-1000 | A | 20020627 |
| | | | DK 2002-1562 | A | 20021011 |
| | | | US 2002-346909P | P | 20020103 |
| | | | US 2002-384243P | P | 20020530 |
| | | | US 2002-393068P | P | 20020628 |
| | | | US 2002-418481P | P | 20021015 |

OTHER SOURCE(S): MARPAT 139:69056

GI



I II

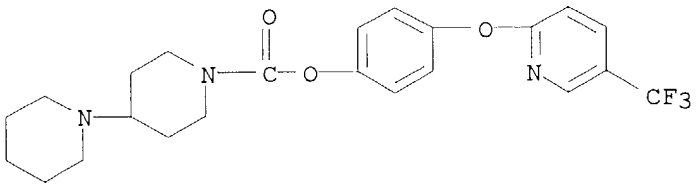
AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548766-17-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment

of diabetes and related disorders)
RN 548766-17-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:464384 CAPLUS
DOCUMENT NUMBER: 135:61470
TITLE: Synthesis of camptothecin and related compounds via a novel 4+1 radical annulation
INVENTOR(S): Curran, Dennis P.; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 436,799, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6252079 | B1 | 20010626 | US 1997-886093 | 19970702 |
| US 6211371 | B1 | 20010403 | US 1998-7872 | 19980115 |
| WO 9901456 | A1 | 19990114 | WO 1998-US13941 | 19980702 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9884761 | A1 | 19990125 | AU 1998-84761 | 19980702 |
| US 2001029298 | A1 | 20011011 | US 2001-815459 | 20010323 |
| US 6620937 | B2 | 20030916 | | |
| US 2004063947 | A1 | 20040401 | US 2003-663605 | 20030916 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1993-85190 | B2 | 19930630 |
| US 1995-436799 | B2 | 19950508 |
| US 1997-886093 | A | 19970702 |
| US 1998-7872 | A3 | 19980115 |
| WO 1998-US13941 | W | 19980702 |
| US 2001-815459 | A3 | 20010323 |

OTHER SOURCE(S): CASREACT 135:61470; MARPAT 135:61470
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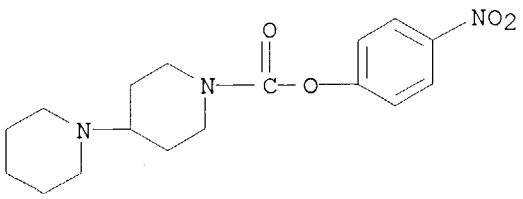
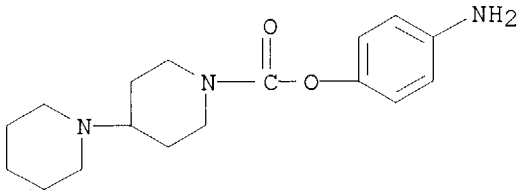
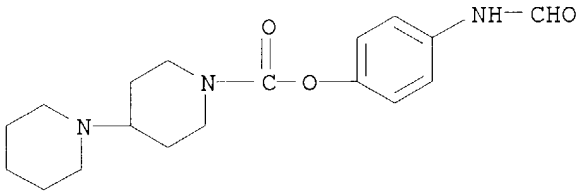


RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



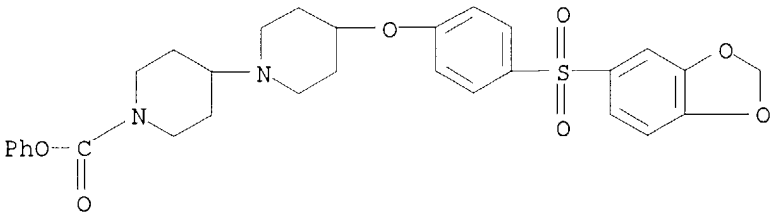
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246314 CAPLUS
DOCUMENT NUMBER: 135:76756
TITLE: Design and synthesis of ether analogues as potent and selective M2 muscarinic receptor antagonists
AUTHOR(S): Wang, Y.; Chackalamannil, S.; Chang, W.; Greenlee, W.; Ruperto, V.; Duffy, R. A.; McQuade, R.; Lachowicz, J. E.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(7), 891-894
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:76756
AB Selective M2 muscarinic antagonists, 4-{4-[4-(arylsulfonyl)phenoxy]piperidin-1-yl}piperidines, which replace a metabolically labile styrenyl moiety of a prototypical M2 antagonist with an ether linkage, were synthesized.

A detailed SAR study in this class of compds. yielded highly active compds. that showed M2 Ki values of <1.0 nM and >100-fold selectivity against M1, M3, and M5 receptors.

IT **203444-62-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of {[(arylsulfonyl)phenoxy]piperidinyl}piperidines as M2 muscarinic receptor antagonists)

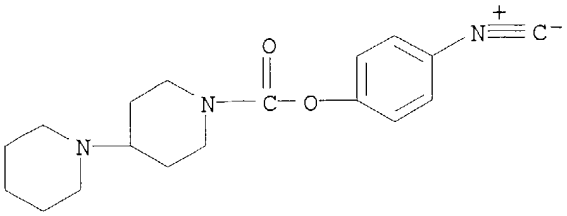
RN 203444-62-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



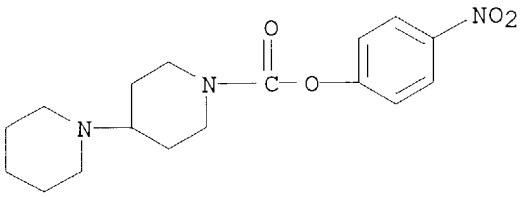
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:819476 CAPLUS
DOCUMENT NUMBER: 133:362876
TITLE: Methods for preparation of camptothecin analogs having antitumor activity
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; David, Bom
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 24 pp., Cont.-in-part of U. S. Ser. No. 436,799. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

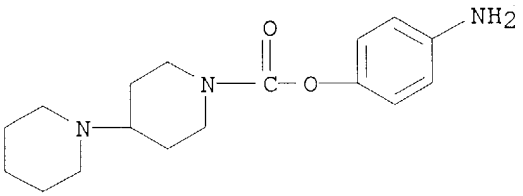
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6150343 | A | 20001121 | US 1997-921102 | 19970829 |
| US 6211371 | B1 | 20010403 | US 1998-7872 | 19980115 |
| CA 2302226 | AA | 19990304 | CA 1998-2302226 | 19980826 |
| WO 9909996 | A1 | 19990304 | WO 1998-US17683 | 19980826 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9892056 | A1 | 19990316 | AU 1998-92056 | 19980826 |
| AU 760543 | B2 | 20030515 | | |
| EP 1017399 | A1 | 20000712 | EP 1998-944535 | 19980826 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2001513567 | T2 | 20010904 | JP 2000-507386 | 19980826 |
| US 6136978 | A | 20001024 | US 1998-212178 | 19981215 |



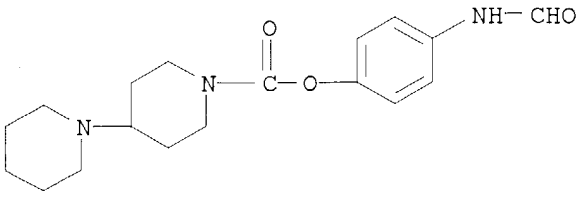
RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:754523 CAPLUS
DOCUMENT NUMBER: 133:322036
TITLE: Methods for preparation of camptothecin analogs having antitumor activity

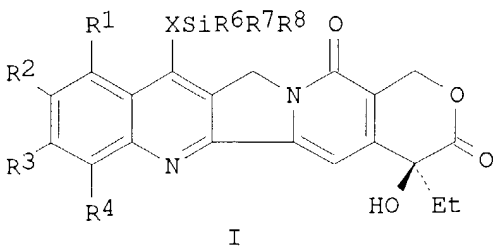
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David; Burke, Thomas G.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 921,102.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6136978 | A | 20001024 | US 1998-212178 | 19981215 |
| US 6150343 | A | 20001121 | US 1997-921102 | 19970829 |
| WO 2000035924 | A1 | 20000622 | WO 1999-US29937 | 19991215 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1140948 | A1 | 20011010 | EP 1999-965287 | 19991215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002532505 | T2 | 20021002 | JP 2000-588183 | 19991215 |
| US 2001029298 | A1 | 20011011 | US 2001-815459 | 20010323 |
| US 6620937 | B2 | 20030916 | | |
| US 2002193598 | A1 | 20021219 | US 2002-134781 | 20020429 |
| US 6743917 | B2 | 20040601 | | |
| US 2004063947 | A1 | 20040401 | US 2003-663605 | 20030916 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1993-85190 | B2 | 19930630 |
| US 1995-436799 | B2 | 19950508 |
| US 1997-921102 | A2 | 19970829 |
| US 1998-7872 | A3 | 19980115 |
| US 1998-212178 | A | 19981215 |
| WO 1999-US29937 | W | 19991215 |
| US 2000-613968 | B1 | 20000711 |
| US 2001-815459 | A3 | 20010323 |

OTHER SOURCE(S): MARPAT 133:322036
GI



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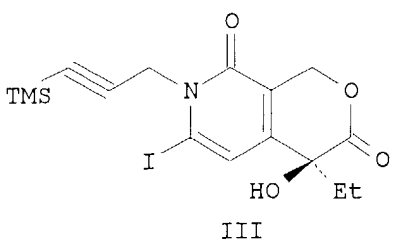
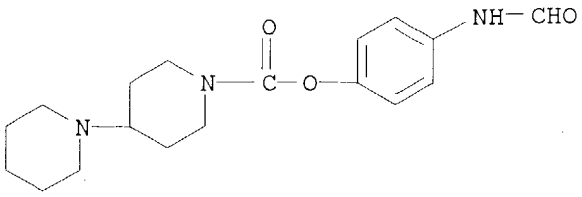


III

AB Camptothecin derivs. [I; R1,R2 = H, alkyl, alkenyl, benzyl, alkynyl, alkoxy, aryloxy, acyloxy, -OC(O)ORd, {Rd = alkyl, carbamoyloxy, halogen, OH, NO2, CN, N3, CHO, NH2, -SRc (Rc = H, acyl, alkyl, aryl etc.,)}; R3 = H, halogen, NO2, NH2, OH, CN; or R1 + R2 or R2 + R3together form a group

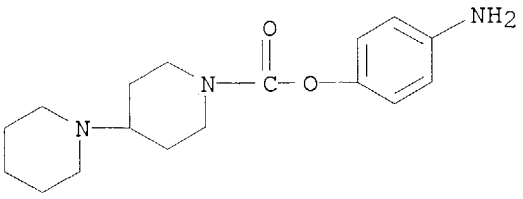



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

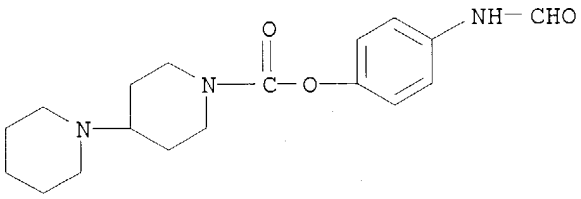
L7 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:421147 CAPLUS
DOCUMENT NUMBER: 133:43697
TITLE: Preparation of camptothecin analogs for use as antitumor agents
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David; Burke, Thomas G.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000035924 | A1 | 20000622 | WO 1999-US29937 | 19991215 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6136978 | A | 20001024 | US 1998-212178 | 19981215 |
| EP 1140948 | A1 | 20011010 | EP 1999-965287 | 19991215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002532505 | T2 | 20021002 | JP 2000-588183 | 19991215 |
| PRIORITY APPLN. INFO.: | | | US 1998-212178 | A 19981215 |
| | | | US 1993-85190 | B2 19930630 |
| | | | US 1995-436799 | B2 19950508 |
| | | | US 1997-921102 | A2 19970829 |
| | | | WO 1999-US29937 | W 19991215 |

OTHER SOURCE(S): MARPAT 133:43697
GI



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)

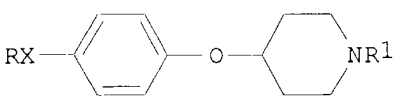


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

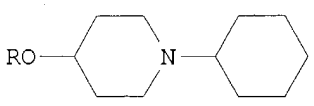
L7 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:704995 CAPLUS
DOCUMENT NUMBER: 131:310560
TITLE: 1,4-Disubstituted piperidine ether muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 5977138 | A | 19991102 | US 1997-910616 | | 19970813 |
| PRIORITY APPLN. INFO.: | | | US 1996-24112P | P | 19960816 |

OTHER SOURCE(S): MARPAT 131:310560
GI



I



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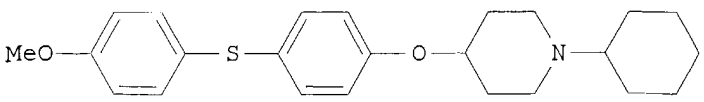
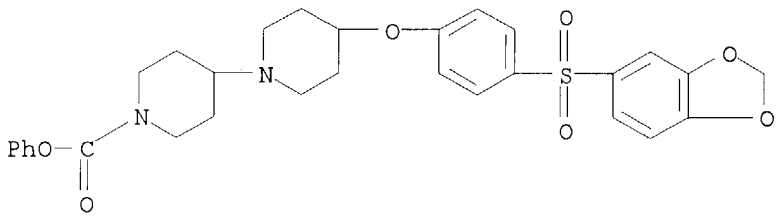


III

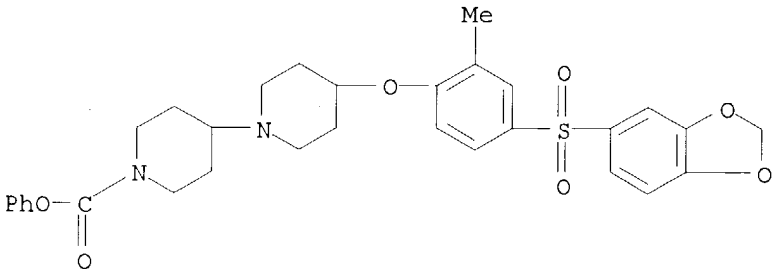
AB Title compds. such as I [X = a bond, O, S, SO2, CO, CH:CH, CH2, etc.; R = cycloalkyl, (un)substituted Ph, (un)substituted pyridyl; R1 = H, alkyl, (un)substituted cycloalkyl, cycloalkenyl, (un)substituted piperidinyl, etc.] were prepared for treatment of cognitive disorders such as Alzheimer' disease. Thus, heating a solution of 0.58 g II (R = 4-iodophenyl), obtained from II (R = H) and 4-iodophenol, 0.42 g 4-methoxybenzenethiol, 47.6 mg CuI, 1.0 g K2CO3 in 9 mL DMPU under N2 at 140-145° for 4.5 h gave 0.45 g III, which was converted to the hydrochloride. Ranges of Ki values were given for binding of I to m1, m2, m3, and m4 receptors.

IT **203444-62-6P 203444-84-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as M2 muscarinic antagonist)

RN 203444-62-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



RN 203444-84-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)-2-methylphenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:172606 CAPLUS
DOCUMENT NUMBER: 130:209844
TITLE: Preparation of camptothecin analogs for use as antitumor agents
INVENTOR(S): Curran, Dennis P.; Josien, Hubert; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

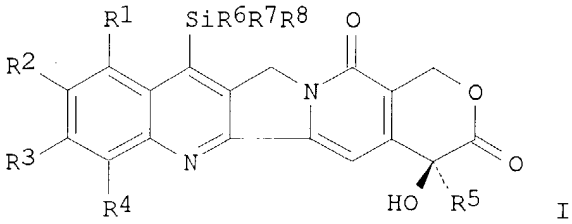
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9909996 | A1 | 19990304 | WO 1998-US17683 | 19980826 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6150343 | A | 20001121 | US 1997-921102 | 19970829 |
| CA 2302226 | AA | 19990304 | CA 1998-2302226 | 19980826 |
| AU 9892056 | A1 | 19990316 | AU 1998-92056 | 19980826 |
| AU 760543 | B2 | 20030515 | | |
| EP 1017399 | A1 | 20000712 | EP 1998-944535 | 19980826 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2001513567 | T2 | 20010904 | JP 2000-507386 | 19980826 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1997-921102 | A | 19970829 |
| US 1993-85190 | A2 | 19930630 |
| US 1995-436799 | A2 | 19950508 |
| WO 1998-US17683 | W | 19980826 |

OTHER SOURCE(S): MARPAT 130:209844
GI



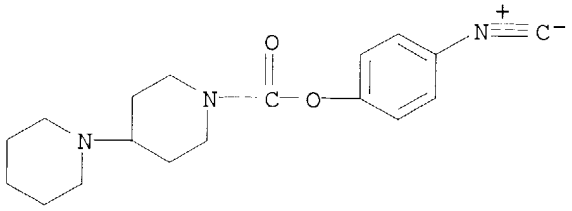

I

AB Camptothecin analogs I [R1, R2 = H, OH, NO2, CN, N3, NH2, CHO, NHNH2, SH, benzyl, alkyl, alkenyl, alkynyl, alkoxyl, aryloxy, acyloxy, carbamoyloxy, halogen, acyl, alkylthio, acylthio, arylthio; R1R2 = -O(CH2)nO-; n = 1, 2; R3 = H, NO2, NH2, OH, CN; R2R3 = -O(CH2)nO-; n = 1, 2; R4 = H, F, alkyl, alkenyl, alkynyl, alkoxyl; R5 = propargyl, alkyl; R6, R7, R8 = alkyl, alkenyl, alkynyl, aryl, -(CH2)mR9; m = 1-10; R9 = OH, NH2, CN, NO2, alkoxy, alkylamino, dialkylamino, halogen] were prepared for use as antitumor agents. Thus, (20S)-7-(trimethylsilyl)camptothecin was prepared in 85% yield by cyclization of (4S)-4-ethyl-4-hydroxy-6-iodo-1H-pyrano[3,4-c]pyridine-3,8(4H,7H)-dione with Me3SiC.tplbond.CCH2Br in DME and DMF at 0°. The prepared compds. were tested for enhancement and inhibition of topoisomerase I activity and for inhibition of cancer cell growth of HL-60, 833K, and DC-3F cell lines.

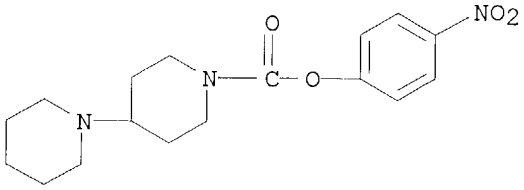
IT **202744-81-8P 202745-10-6P 202745-11-7P 202745-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of camptothecin analogs for use as antitumor agents)

RN 202744-81-8 CAPLUS

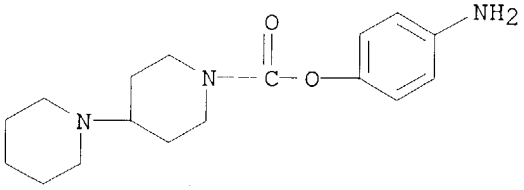
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-isocyanophenyl ester (9CI) (CA INDEX NAME)



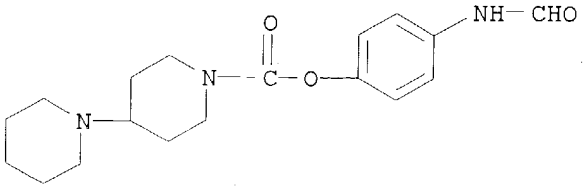
RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



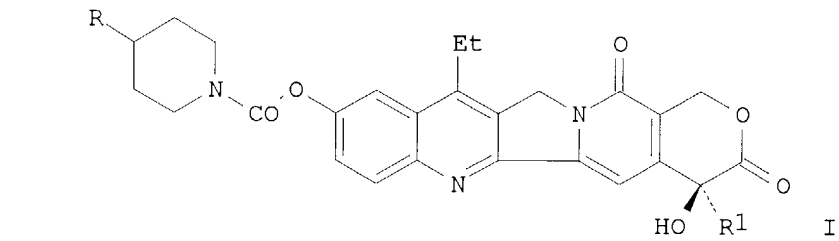
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:48724 CAPLUS

DOCUMENT NUMBER: 130:125257
TITLE: Synthesis of and intermediates for camptothecins
INVENTOR(S): Curran, Dennis P.; Bom, David
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9901456 | A1 | 19990114 | WO 1998-US13941 | 19980702 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 6252079 | B1 | 20010626 | US 1997-886093 | 19970702 |
| AU 9884761 | A1 | 19990125 | AU 1998-84761 | 19980702 |
| PRIORITY APPLN. INFO.: | | | US 1997-886093 A | 19970702 |
| | | | US 1993-85190 B2 | 19930630 |
| | | | US 1995-436799 B2 | 19950508 |
| | | | WO 1998-US13941 W | 19980702 |

OTHER SOURCE(S): CASREACT 130:125257; MARPAT 130:125257
GI

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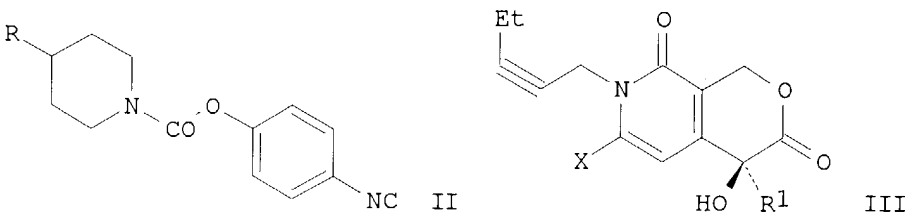
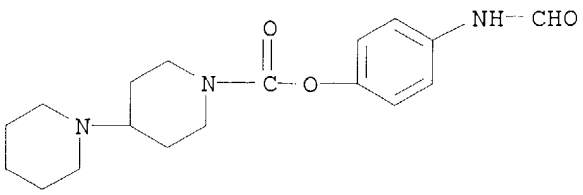



II III

AB Camptothecin analogs, such as I [R = H, alkoxy, N containing heterocyclyl, such as piperidinyl; R1 = allyl, propargyl, benzyl, alkyl], were prepared via a novel [4 + 1] radical annulation of the corresponding isonitriles II with pyridinones III [X = Br, iodo] for use as topoisomerase inhibitors. Thus, (+)-irinotecan I [R = piperidinyl, R1 = Et] was prepd in 31% yield by cyclization of isonitrile II [R = piperidinyl] with pyridinone III [R1 = Et, X = iodo] in the presence of hexadimethylditin in benzene. The prepared compds were tested for topoisomerase I inhibiting activity and



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:776664 CAPLUS
DOCUMENT NUMBER: 130:20561
TITLE: Bisaryl compounds and cancer remedies containing the same
INVENTOR(S): Yonetani, Yoshiyuki; Takahashi, Takeshi; Okada, Yuko; Mizukami, Tamio; Tamaoki, Tatsuya; Ikeda, Shun-ichi; Takashima, Masanobu; Asanuma, Naoki; Inaba, Tadashi; Takeuchi, Hiroshi; Kawamoto, Hiroshi; Tsukada, Yoshihisa; Satomura, Masato; Kitaguchi, Hiroshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9852551 | A1 | 19981126 | WO 1998-JP2242 | 19980521 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9874498 | A1 | 19981211 | AU 1998-74498 | 19980521 |
| AU 742881 | B2 | 20020117 | | |
| EP 990439 | A1 | 20000405 | EP 1998-921757 | 19980521 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| US 2003018070 | A1 | 20030123 | US 2000-424352 | 20000214 |
| US 6608061 | B2 | 20030819 | | |
| US 2003199560 | A1 | 20031023 | US 2003-342231 | 20030115 |
| PRIORITY APPLN. INFO.: | | | JP 1997-132398 A | 19970522 |
| | | | JP 1997-347989 A | 19971217 |
| | | | WO 1998-JP2242 W | 19980521 |
| | | | US 2000-424352 A3 | 20000214 |

AB Cancer remedies, each containing a compound of the following general formula: Ar1-S-R1-S-Ar2 [wherein RP represents a non-metallic connecting group; Ar1 and Ar2 each independently represents aryl or heteroaryl having 1 to 3 hydroxyls which may be substituted with a monovalent group on the ring thereof (and optionally having 1 to 3 substituents other than the hydroxyl on the ring thereof)] or a physiol. acceptable salt thereof; and compds.

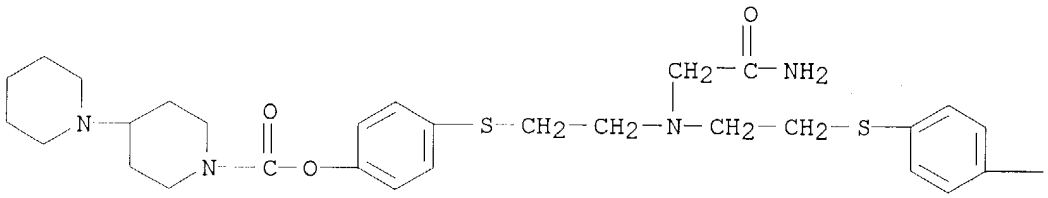
of the following general formula (XII): Ar23-S-R22-N(R24)-R23-S-Ar24 [wherein R22 and R23 each independently represents a divalent group; R24 represents a monovalent group or atom, or R24 may be bonded to R22 and/or R23 to form a cyclic structure and further bonded to one or two C1-4 alkylene groups to form a divalent group; and Ar23 and Ar24 each independently represents aryl or heteroaryl (optionally having 1 to 3 substituents other than hydroxyl on the ring thereof) having 1 to 3 hydroxyls which may be substituted with a monovalent group; excluding specified compds.] and salts thereof.

IT **216498-37-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bisaryl compds. and cancer remedies containing the same)

RN 216498-37-2 CAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, [(2-amino-2-oxoethyl)imino]bis(2,1-ethanediylthio-4,1-phenylene) ester (9CI) (CA INDEX NAME)

PAGE 1-A



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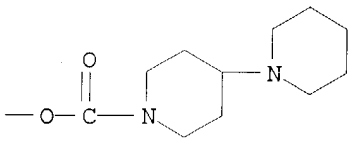


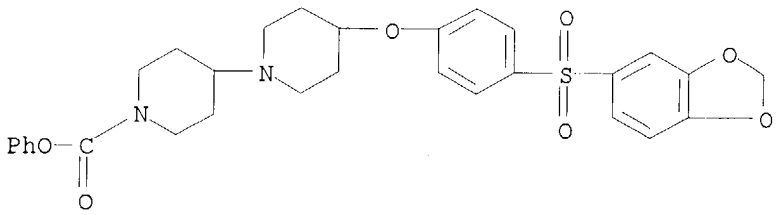
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

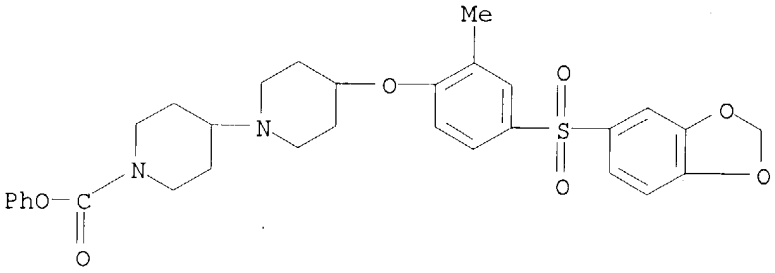
ACCESSION NUMBER: 1998:129461 CAPLUS
DOCUMENT NUMBER: 128:192554
TITLE: Preparation of phenyl piperidin-4-yl ethers as muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9806697 | A1 | 19980219 | WO 1997-US13894 | 19970813 |

CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)phenoxy]-, phenyl ester (9CI) (CA INDEX NAME)



RN 203444-84-2 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[4-(1,3-benzodioxol-5-ylsulfonyl)-2-methylphenoxy]-, phenyl ester (9CI) (CA INDEX NAME)

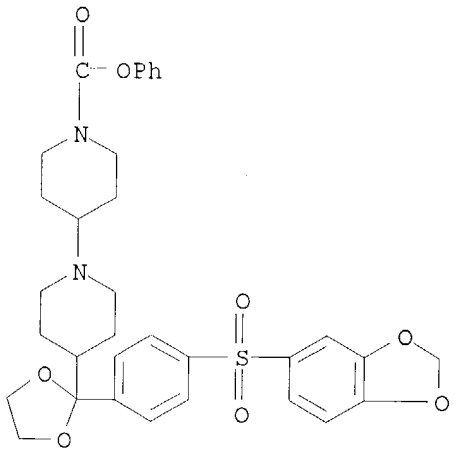


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

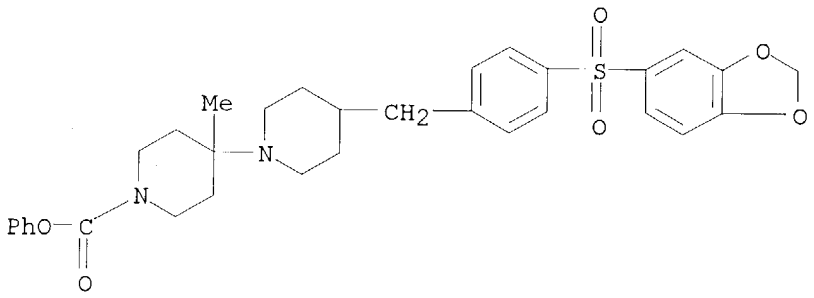
L7 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:112193 CAPLUS
DOCUMENT NUMBER: 128:180426
TITLE: Preparation of piperazine and piperidine derivatives as muscarinic antagonists
INVENTOR(S): Lowe, Derek B.; Chang, Wei K.; Kozlowski, Joseph A.; Berger, Joel G.; McQuade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-yong; Clader, John W.; Chackalamannil, Samuel; Wang, Yuguang; McCombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne D.; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros; Boyle, Craig D.; Josien, Hubert B.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9805292 | A2 | 19980212 | WO 1997-US13383 | 19970806 |
| WO 9805292 | A3 | 19980402 | | |

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,



RN 203185-53-9 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-[[4-(1,3-benzodioxol-5-ylsulfonyl)phenyl]methyl]-4'-methyl-, phenyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:71126 CAPLUS
DOCUMENT NUMBER: 128:154255
TITLE: Preparation of taxane derivatives as antitumors and pharmaceuticals containing them
INVENTOR(S): Shimizu, Hideaki; Abe, Atsuhiro; Yaegashi, Takashi; Sawada, Seigo; Nagata, Hiroshi
PATENT ASSIGNEE(S): Kabushiki Kaisha Yakult Honsha, Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9802426 | A1 | 19980122 | WO 1997-JP2431 | 19970714 |
| W: AU, BR, CA, CN, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2259977 | AA | 19980122 | CA 1997-2259977 | 19970714 |
| AU 9734602 | A1 | 19980209 | AU 1997-34602 | 19970714 |

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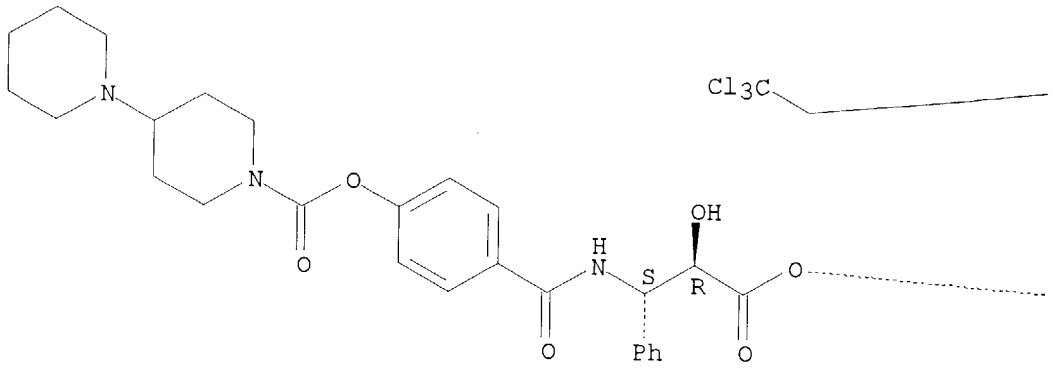

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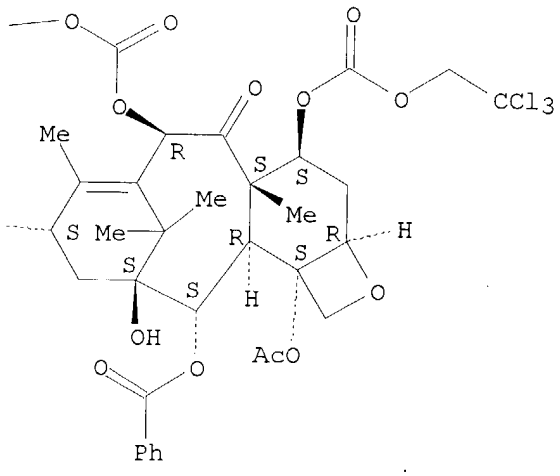
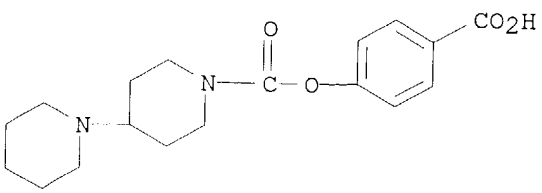


IT **189573-39-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of taxane derivs. as antitumors and pharmaceuticals containing them)
RN 189573-39-5 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-carboxyphenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

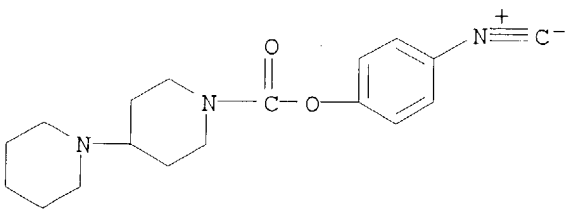
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:63390 CAPLUS
DOCUMENT NUMBER: 128:154267
TITLE: A general synthetic approach to the (20S)-camptothecin family of antitumor agents by a regiocontrolled cascade radical cyclization of aryl isonitriles
AUTHOR(S): Josien, Hubert; Ko, Sung-Bo; Bom, David; Curran, Dennis P.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Chemistry--A European Journal (1998), 4(1), 67-83
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:154267

AB A general and efficient synthesis of (20S)-camptothecin (I) was reported. A key common intermediate containing the pyridone and lactone (DE) rings of camptothecin and most derivs. was constructed from 2-trimethylsilyl-6-methoxypyridine by a series of metalation reactions and a Heck cyclization to provide an achiral bicyclic enol ether. Sharpless asym. dihydroxylation followed by lactol oxidation and iododesilylation produced the key intermediate in 94% enantiomeric excess. Alkylation with propargyl bromide and a cascade radical reaction with PhNC then produced I. About 20 other penta- and hexacyclic analogs of camptothecin with differing single or multiple substituents at C7, C9, C10, C11, and/or C12 were made by changing the propargylating agent and the isonitrile. Included among these are several drug candidates and the approved drugs topotecan and irinotecan. The synthesis of the prodrug irinotecan is a direct one that does not pass through the active metabolite. The use of ortho-trimethylsilyl-substituted isonitriles allows the regioselective synthesis of camptothecin analogs in cases where isomeric mixts. are formed from the parent isonitriles. The synthesis of the derivs. relies on the broad scope and functional group tolerance of the key cascade radical reaction.

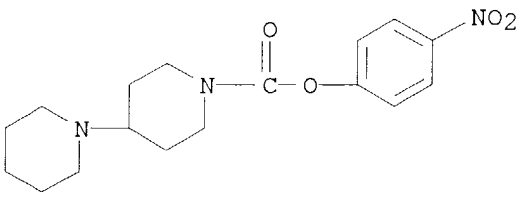
IT **202744-81-8P 202745-10-6P 202745-11-7P 202745-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(general synthetic approach to the (20S)-camptothecin family of antitumor agents by a regiocontrolled cascade radical cyclization of aryl isonitriles)

RN 202744-81-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-isocyanophenyl ester (9CI) (CA INDEX NAME)

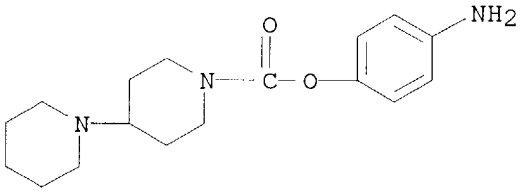


RN 202745-10-6 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-nitrophenyl ester (9CI) (CA

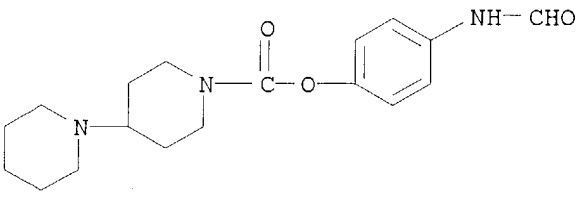
INDEX NAME)



RN 202745-11-7 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



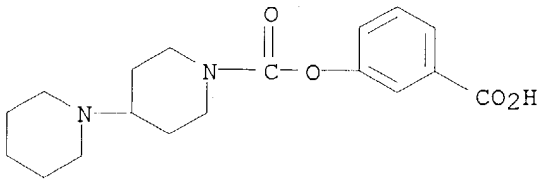
RN 202745-12-8 CAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 4-(formylamino)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:389121 CAPLUS
DOCUMENT NUMBER: 127:34389
TITLE: Preparation of taxol derivatives as antitumors
INVENTOR(S): Oguro, Masao; Kiyomi, Hideaki; Abe, Atsuhiro; Sawada, Seigo
PATENT ASSIGNEE(S): Yakult Honsha Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

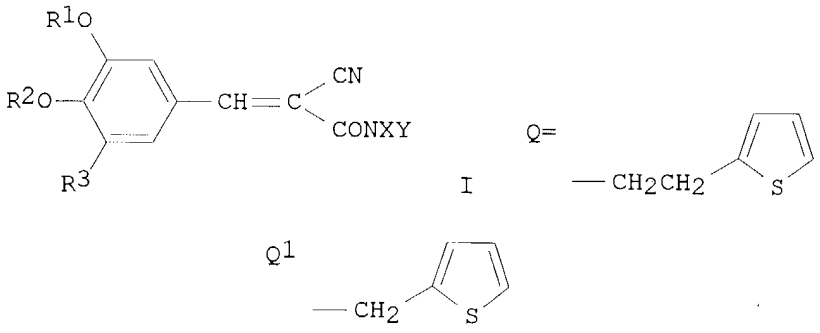
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09110865 | A2 | 19970428 | JP 1995-280094 | 19951027 |



L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:346839 CAPLUS
DOCUMENT NUMBER: 122:105410
TITLE: Preparation of caffeic acid amide derivatives as 12-lipoxygenase inhibitors
INVENTOR(S): Matsuki, Shinsuke; Kiso, Yoshinobu; Cho, Hidetsura; Tamaoka, Mie; Murota, Seiitsu; Morita, Ikuo
PATENT ASSIGNEE(S): Suntory Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06247850 | A2 | 19940906 | JP 1993-57991 | 19930224 |
| PRIORITY APPLN. INFO.: | | | JP 1993-57991 | 19930224 |

OTHER SOURCE(S): MARPAT 122:105410
GI



AB Caffeic acid amide derivs. [I; R1, R2 = H, COR4, C(S)R5, PO(OR6)OR7, or R1R2 forms a 5-membered ring; wherein R4 = C1-6 alkyl or alkoxy, C6-10 aryloxy, c712 aralkyloxy, substituted amino, cyclic amino; R6, R7 = C1-6 alkyl, C6-10 aryl, C7-12 aralkyl, alkali metal; R3 = OR1, OR2, H, OH, O2CR4, OC(S)R5, PO(OR6)OR7, wherein R1, R2, R4 - R7 = same as above; X, Y = H, (un)substituted C1-6 alkyl, C6-10 aryl, C7-12 aralkyl, C7-12 aralkyloxy, C7-12 arylalkenyl, C7-12 aryloxyalkenyl, heterocyclyl, or heterocyclylalkyl, or XY forms N-containing heterocyclic ring; provided that both X = Y ≠ H] and pharmacol. acceptable salts thereof, useful for the treatment of arteriosclerosis, ischemic heart diseases, etc., are prepared A medicament for the treatment and prevention of diseases caused by unusual rise in the activity of 12-lipoxygenase, e.g. atrophy of brain blood vessel, allergy, inflammation, cancer metastasis, asthma, normal psoriasis, and nephritis, contains 12-lipoxygenase inhibitor or pharmacol.

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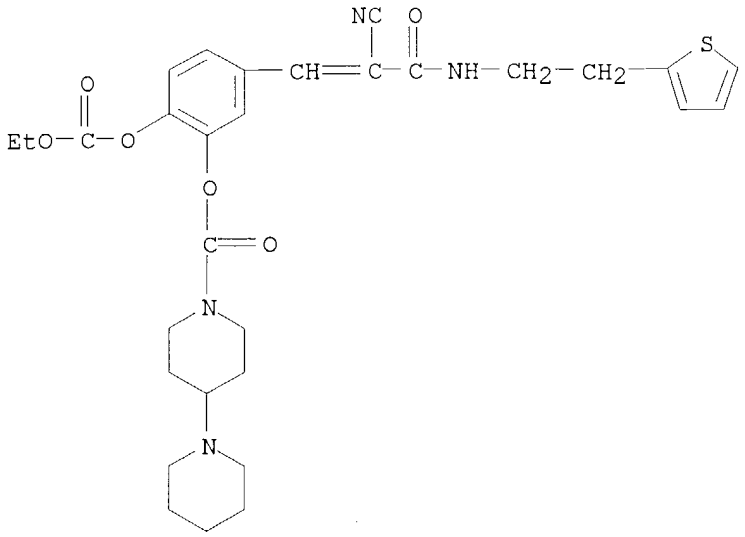

PAGE 2-A

● HCl

L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:538889 CAPLUS
DOCUMENT NUMBER: 119:138889
TITLE: Preparation of caffeic acid amides as 12-lipoxygenase inhibitors.
INVENTOR(S): Cho, Hidetsura; Tamaoka, Mie; Matsuki, Shinsuke; Murota, Seiitsu; Morita, Ikuo
PATENT ASSIGNEE(S): Suntory Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 05058978 | A2 | 19930309 | JP 1991-238910 | 19910827 |

PRIORITY APPLN. INFO.: JP 1991-238910 19910827
OTHER SOURCE(S): MARPAT 119:138889
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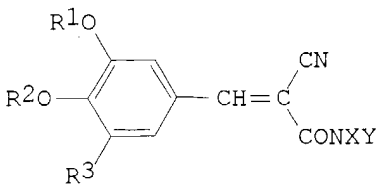

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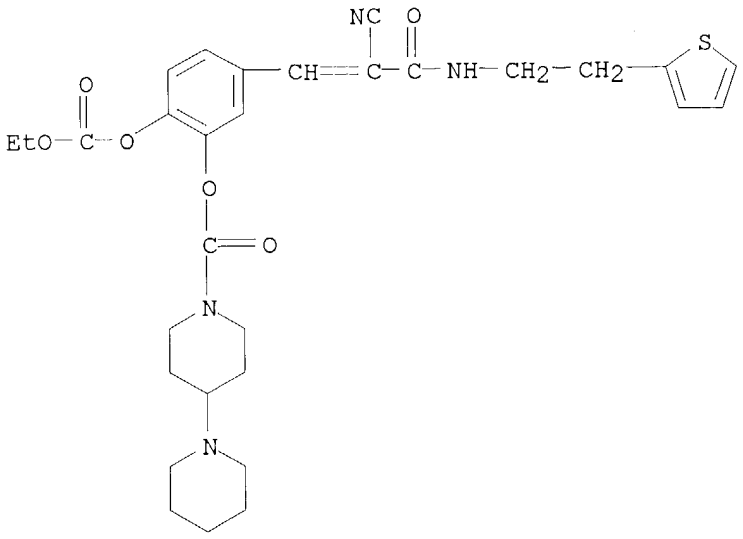
PAGE 1-A



PAGE 2-A

● HCl

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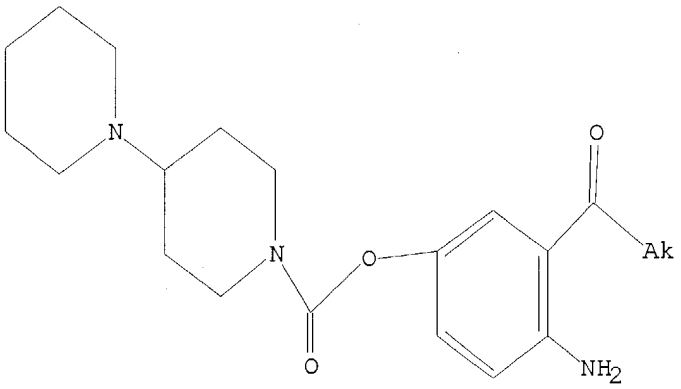


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PALM INTRANET

Day : Thursday
Date: 9/9/2004
Time: 09:52:32

## Inventor Name Search Result

Your Search was:

Last Name = HENEGAR
First Name = KEVIN

| Application# | Patent# | Status | Date Filed | Title |
|---|---|---|---|---|
| 60549664 | Not Issued | 160 | 03/02/2004 | METHODS FOR THE PREPARATION OF ARYL ETHE |
| 60549580 | Not Issued | 160 | 03/02/2004 | METHOD FOR THE PREPARTION OF ARYL ETHERS |
| 60546486 | Not Issued | 020 | 02/20/2004 | PROCESS FOR THE PREPARATION OF ARYL ETHER |
| 60439953 | Not Issued | 159 | 01/14/2003 | PROCESS FOR PREPARING ENANTIOMERICALLY E (1S,4R) 1-ACETYL-4-HYDROXYCYCLOPENT-2-ENE |
| 60435991 | Not Issued | 159 | 12/23/2002 | PROCESS FOR THE SYNTHESIS OF 3,3A,6,6A-TETRAHYDRO-2H-CYCLOPENTAN[B]FUR |
| 60373727 | Not Issued | 159 | 04/17/2002 | COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES |
| 60306026 | Not Issued | 159 | 07/17/2001 | PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST |
| 60204242 | Not Issued | 159 | 05/15/2000 | PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST |
| 60114092 | Not Issued | 159 | 12/29/1998 | METHOD FOR THE PREPARATION OF ARYL ETHER |
| 10791198 | Not Issued | 030 | 03/02/2004 | COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES |
| 10753136 | Not Issued | 020 | 01/07/2004 | PROCESS FOR PREPARING ENANTIOMERICALLY E (1S,4R) 1-ACETOXY-4-HYDROXYCYCLOPENT-2-EN |
| 10735125 | Not Issued | 030 | 12/12/2003 | PROCESS FOR THE SYNTHESIS OF 3.3A.6.6A-TETRAHYDRO-2H-CYCLOPENTAN[B]FUR |
| 10414852 | 6723729 | 150 | 04/16/2003 | COMPOUNDS USEFUL IN PREPARING CAMPTOTHE DERIVATIVES |
| 10366428 | Not Issued | 041 | 02/13/2003 | PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST |

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|---|---|---|---|---|
| 10179499 | 6689901 | 150 | 06/25/2002 | PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST |
| 09852393 | Not Issued | 168 | 05/09/2001 | PROCESS AND INTERMEDIATES TO PREPARE LATANOPROST |
| 09687227 | 6444820 | 150 | 10/13/2000 | PROCESS FOR THE MANUFACTURE OF CAMPTOTH DERIVATIVES |
| 09511006 | 6235907 | 150 | 02/22/2000 | INTERMEDIATES USEFUL IN MAKING MAPPICINE RELATED COMPOUNDS |
| 09469429 | 6376711 | 150 | 12/23/1999 | METHOD FOR THE PREPARATION OF ARYL ETHER |
| 09230245 | 6121451 | 150 | 10/02/1997 | NOVEL INTERMEDIATES AND PROCESS FOR THE MANUFACTURE OF CAMPTOTHECIN DERIVATIVES AND RELATED COMPOUNDS |
| 08419643 | Not Issued | 168 | 04/07/1995 | NOVEL INTERMEDIATES AND PROCESS FOR THE MANUFACTURE OF CAMPTOTHECIN DERIVATIVES AND RELATED COMPOUNDS |
| 08150626 | 5389669 | 150 | 11/10/1993 | PYRROLE THIOCARBOXAMIDE INSECTICIDAL ANI ACARICIDAL AGENTS |
| 07971025 | 5286742 | 150 | 11/03/1992 | PYRROLE THIOCARBOXAMIDE INSECTICIDAL ANI ACARICIDAL AGENTS |

# Inventor Search Completed: No Records to Display.

**Search Another: Inventor**

**Last Name** Henegar

**First Name** Kevin

Search

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